

DOCUMENT TYPE: CODEN: JKXXAF
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1 Japanese
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005325061	A2	20051124	JP 2004-144958	20040514
PRIORITY APPLN. INFO.:			JP 2004-144958	20040514
OTHER SOURCE(S):	MARPAT 143:478212			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclosporins I (R1 = H, OH; R2 = CHMe2, Bu, CHMeCH2CH:CHMe; R3 = Me, Et, Pr, CHMe2, CHMeOH; R4 = H, Me; R5 = CHMe2, CH2CHMe2; R6 = Pr, CHMe2; R7 = Me, Et) are prepared by (1) N-methylation of a peptide segment II (R = H; R1, R2 = same as above; Z1 = CO2CMe3, CO2CH2Ph, CH2Ph), (2) reaction of the resulting II (R = Me; R1, R2, Z1 = same as above) with Z2-D-Ala-OH (Z2 = any group given for Z1) in the presence of condensing agents, (3) reaction of the resulting II (R = Me; R1, R2 = same as above; Z1 = residue derived from Z2-D-Ala-OH) with N-Me amino acid-containing peptide III (R3-R7 = same as above; Z2 = any group given for Z1) in the presence of condensing agents, and (4) deprotection and cyclization of the resulting peptides. N-methylation in step (1) may be performed by MeI and Ag2O in DMF. O-(7-Azabenzotriazol-1-yl)-1,1,3,3-tetramethyluronium hexafluorophosphate may be used as a condensing agents in steps (2)-(4). Preparation of cyclosporin O was given.

IT **108044-40-2P**, Cyclosporin O

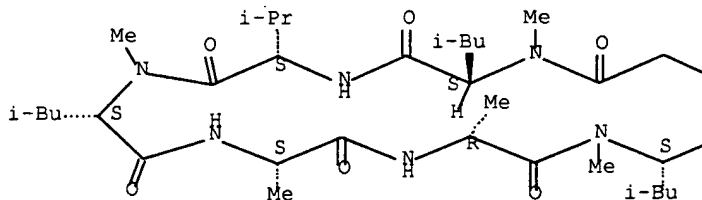
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); **PREP (Preparation)**; RACT (Reactant or reagent)
 (simple preparation of cyclosporins)

RN 108044-40-2 HCAPLUS

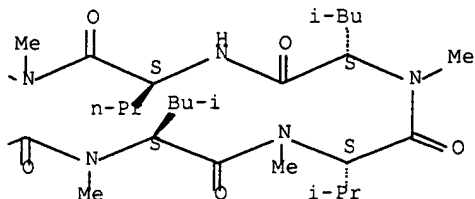
CN Cyclosporin O (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A



PAGE 1-B



L35 ANSWER 5 OF 272 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1239907 HCAPLUS Full-text
DOCUMENT NUMBER: 143:478212
TITLE: Simple preparation of cyclosporins
INVENTOR(S): Katagai, Ryoichi; Yamada, Keiichi; Endo, Akiya; Oku,
Hiroyuki
PATENT ASSIGNEE(S): Nippon Rikagaku Yakuhin Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.